

What is claimed:

1. A compound which is O-desmethyl venlafaxine succinate.
2. The compound of Claim 1, wherein the compound is a hydrate of O-desmethyl venlafaxine succinate.
3. The compound of Claim 2 which is O-desmethyl venlafaxine succinate monohydrate.
4. The compound of Claim 1 wherein the salt is crystalline.
5. The compound of Claim 4 wherein the compound exhibits an X-ray powder diffraction pattern having characteristic peaks expressed in degrees 2θ ($\pm 0.2^\circ 2\theta$) at 10.20, 14.91, 20.56, 22.13, 23.71, 24.60, and 25.79.
6. The compound of Claim 4 having an endotherm at about 131°C .
7. The compound of Claim 4 having an X-ray powder diffraction pattern substantially the same as that shown in Figure 1.
8. The compound of Claim 4 wherein the compound exhibits an X-ray powder diffraction pattern having characteristic peaks expressed in degrees 2θ ($\pm 0.2^\circ 2\theta$) at 13.18, 14.04, 14.35, 14.66, 16.68, 17.67, 19.24, 25.13, and 31.78.
9. The compound of Claim 8 wherein the compound exhibits an X-ray powder diffraction pattern having characteristic peaks expressed in degrees 2θ ($\pm 0.2^\circ 2\theta$) at 10.25, 13.18, 14.04, 14.35, 14.66, 16.68, 17.67, 19.24, 20.38, 20.56, 23.41, 23.78, 24.57, 25.13, 25.80, and 31.78.
10. The compound of Claim 4 having an endotherm at about 127°C .
11. The compound of Claim 4 having an X-ray powder diffraction pattern substantially the same as that shown in Figure 2.

12. The compound of Claim 4 wherein the compound exhibits an X-ray powder diffraction pattern having characteristic peaks expressed in degrees 2θ ($\pm 0.2^\circ 2\theta$) at 13.74, 22.55, and 32.42.
13. The compound of Claim 12 wherein the compound exhibits an X-ray powder diffraction pattern having characteristic peaks expressed in degrees 2θ ($\pm 0.2^\circ 2\theta$) at 10.36, 13.74, 14.40, 14.68, 14.96, 16.75, 17.48, 17.76, 19.26, 20.42, 20.74, 22.55, 23.58, 23.82, 24.92, 26.00, 31.86, and 32.42.
14. The compound of Claim 4 having an X-ray powder diffraction pattern substantially the same as that shown in Figure 3.
15. The compound of Claim 4, wherein the compound exhibits an X-ray powder diffraction pattern having characteristic peaks expressed in degrees 2θ ($\pm 0.2^\circ 2\theta$) at 11.29, 17.22, 19.64, 20.91, 21.61, 28.86, 29.80, 30.60, 36.85, and 37.70.
16. The compound of Claim 15, wherein the compound exhibits an X-ray powder diffraction pattern having characteristic peaks expressed in degrees 2θ ($\pm 0.2^\circ 2\theta$) at 10.46, 11.29, 13.69, 14.48, 15.17, 16.62, 17.22, 17.61, 19.22, 19.64, 20.91, 21.61, 22.55, 23.84, 24.77, 25.34, 25.92, 26.40, 28.86, 29.80, 30.60, 33.17, 36.85, and 37.70.
17. The compound of Claim 4 having an endotherm at 145°C .
18. The compound of Claim 4 having an X-ray powder diffraction pattern substantially the same as that shown in Figure 4.
19. The compound of Claim 1 wherein the compound is amorphous.
20. The compound of Claim 19 having a T_g onset at 18°C .
21. The compound of Claim 1 having an X-ray powder diffraction pattern substantially the same as that shown in Figure 5.

22. The compound of Claim 1 having a solubility in water of at least 30 mg/ml at about 25°C.
23. A pharmaceutical composition comprising O-desmethyl venlafaxine succinate and a pharmaceutically acceptable carrier or excipient.
24. The pharmaceutical composition of Claim 23 further comprising venlafaxine.
25. A pharmaceutical dosage form comprising a therapeutically effective amount of O-desmethyl venlafaxine succinate and a pharmaceutically acceptable carrier or excipient.
26. An oral dosage form comprising a therapeutically effective amount of O-desmethyl venlafaxine succinate and a pharmaceutically acceptable carrier or excipient.
27. The oral dosage form of claim 26, wherein the dosage form is a tablet or capsule.
28. The oral dosage form of claim 26, wherein the oral dosage form is a sustained release formulation.
29. The oral dosage form of claim 26, further comprising a rate controlling polymer material.
30. The oral dosage form of claim 29, wherein the rate controlling polymer material is selected from hydroxyalkyl celluloses, poly(ethylene) oxides, alkyl celluloses, carboxymethyl celluloses, hydrophilic cellulose derivatives, and polyethylene glycol.
31. The oral dosage form of claim 29, wherein the oral dosage form comprises from about 30 to about 50% by weight of O-desmethyl-venlafaxine succinate and from about 40 to about 70% by weight of the rate controlling polymer material, based upon 100% total weight of oral dosage form.

32. The oral dosage form of claim 31, wherein the oral dosage form comprises from about 32 to about 44% by weight of O-desmethyl-venlafaxine succinate and from about 45 to about 66% by weight of the rate controlling polymer material, based upon 100% total weight of oral dosage form.

33. The oral dosage form of claim 26, wherein the oral dosage form further comprises a binder.

34. The oral dosage form of claim 33, wherein the binder is microcrystalline cellulose.

35. A method of treating a patient suffering from depression comprising providing to a patient in need thereof an effective amount of O-desmethylvenlafaxine succinate.

36. A method of treating a patient suffering from anxiety comprising providing to a patient in need thereof an effective amount of O-desmethylvenlafaxine succinate.

37. A method of treating a patient suffering from panic disorder comprising providing to a patient in need thereof an effective amount of O-desmethylvenlafaxine succinate.

38. A method of treating a patient suffering from generalized anxiety disorder comprising providing to a patient in need thereof an effective amount of O-desmethylvenlafaxine succinate.

39. A method of treating a patient suffering from post traumatic stress disorder comprising providing to a patient in need thereof an effective amount of O-desmethylvenlafaxine succinate.

40. A method of treating a patient suffering from premenstrual dysphoric disorder comprising providing to a patient in need thereof an effective amount of O-desmethylvenlafaxine succinate.

41. A method of treating a patient suffering from a condition selected from fibromyalgia, agorophobia, attention deficit disorder, obsessive compulsory disorder, social anxiety disorder, autism, schizophrenia, obesity, anorexia nervosa, bulimia nervosa, Gilles de la Tourette Syndrome, vasomotor flushing, cocaine and alcohol addiction, sexual dysfunction, borderline personality disorder, chronic fatigue syndrome, urinary incontinence, pain, Shy Drager syndrome, Raynaud's syndrome, Parkinson's disease, and epilepsy comprising providing to a patient in need thereof an effective amount of O-desmethylvenlafaxine succinate.

42. A method of enhancing cognition or treating cognitive impairment in a patient comprising providing to a patient in need thereof an effective amount of O-desmethyl-venlafaxine succinate.

43. A method for cessation of smoking or other tobacco uses in a patient comprising providing to a patient in need thereof an effective amount of O-desmethyl-venlafaxine succinate.

44. A method for treating hypothalamic amenorrhea in a depressed or non-depressed human female comprising providing to a human female in need thereof an effective amount of O-desmethyl-venlafaxine succinate.

45. A method of lowering the incidence of nausea, vomiting, diarrhea, abdominal pain, headache, vaso-vagal malaise, or trismus resulting from the oral administration of O-desmethylvenlafaxine succinate to a patient comprising orally administering to a patient in need thereof a therapeutically effective amount of a sustained release formulation of O-desmethyl-venlafaxine succinate having a blood plasma level of no more than about 225 ng/ml.

46. A method of preparing O-desmethyl-venlafaxine comprising the step of demethylating venlafaxine or a salt thereof with an alkali metal salt of a trialkyl borohydride.

47. The method of claim 46, wherein each alkyl group in the trialkyl borohydride is independently a C₁-C₆ alkyl.

48. The method of claim 47, wherein the alkali metal salt of a trialkyl borohydride is selected from L-selectride, K-selectride, lithium triethylborohydride, potassium triethylborohydride, and mixtures thereof.

49. The method of claim 48, wherein the alkali metal salt of a trialkyl borohydride is L-selectride.

50. The method of claim 46, wherein the demethylation step is performed at a temperature of from about 60 to about 140° C.

51. The method of claim 46, further comprising the step of converting the O-desmethyl-venlafaxine to O-desmethyl-venlafaxine succinate.

52. The method of claim 46, further comprising the step of deactivating any boron containing byproducts produced by the demethylation reaction.

53. The method of claim 52, wherein the deactivating step comprises oxidizing the boron containing byproducts.

54. The method of claim 53, wherein the oxidizing step comprises reacting the boron containing byproducts with an oxidizing agent selected from hydrogen peroxide, sodium perborate, and mixtures thereof.

55. The method of claim 53, wherein the oxidizing step comprises adding the boron containing byproducts to an oxidizing agent or a solution comprising an oxidizing agent.

56. A method of preparing O-desmethyl-venlafaxine comprising the steps of:
 (a) demethylating venlafaxine or a salt thereof with an alkali metal salt of a trialkyl borohydride to yield an alkali metal salt of O-desmethyl-venlafaxine; and
 (b) converting the alkali metal salt of O-desmethyl-venlafaxine to the free base of O-desmethyl-venlafaxine.

57. The method of claim 56, wherein step (b) comprises neutralizing the alkali metal salt of O-desmethyl-venlafaxine with acid.

58. The method of claim 56, further comprising the step of (c) converting the free base of O-desmethyl-venlafaxine to O-desmethyl-venlafaxine succinate.

59. The method of claim 56, wherein the venlafaxine in step (a) is the free base of venlafaxine.

60. A sustained release formulation comprising O-desmethyl-venlafaxine succinate and a pharmaceutically acceptable carrier or excipient, wherein the sustained release formulation provides peak serum levels of up to about 225 ng/ml.